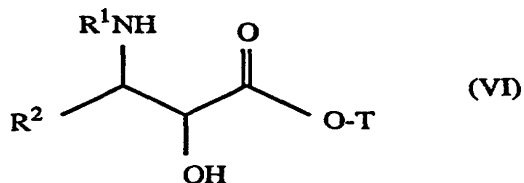


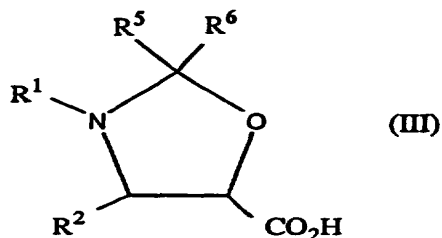
What is claimed is:

1. A method for the preparation of a compound of the following formula VI or salt thereof:



where

- 10  $\text{R}^1$  is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;
- $\text{R}^2$  is aryl, heterocyclo or alkyl; and
- T is a taxane moiety directly bonded at C-13 of said moiety;
- 15 comprising the steps of:
  - (a) contacting a compound of the following formula III or salt thereof:



20

where

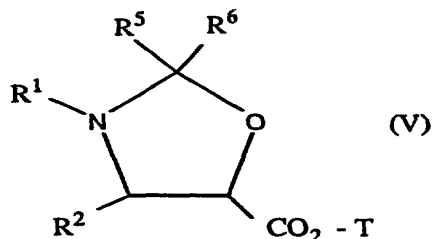
- $\text{R}^1$  and  $\text{R}^2$  are as defined above; and
- $\text{R}^5$  and  $\text{R}^6$  are (a) each independently alkyl; or (b) together with the carbon atom to which they

are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group;  
with a compound of the following formula IV or salt thereof:

5



where T is as defined above, in the presence of a coupling agent, to form a compound of the following  
10 formula V or salt thereof:

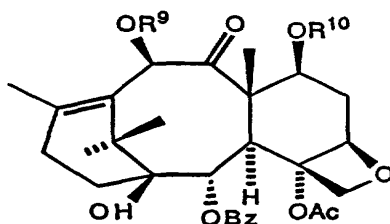


where R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, R<sup>6</sup> and T are as defined above;  
15 and

(b) contacting said compound of the formula V or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the  
20 formula VI or salt thereof.

2. The method of claim 1, wherein

R<sup>1</sup> is arylcarbonyl or alkyloxycarbonyl;  
25 R<sup>2</sup> is phenyl, thienyl or furyl;  
R<sup>5</sup> and R<sup>6</sup> are each independently unsubstituted lower alkyl; and  
T is the moiety:



where

$R^9$  is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and

5  $R^{10}$  is hydrogen or a hydroxyl protecting group.

3. The method of claim 1, wherein said coupling agent comprises a carbodiimide, employed together with 1-hydroxybenzotriazole or  
 10 N-hydroxysuccinimide; or a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride, wherein the  
 15 aforementioned compounds are employed together with an amine.

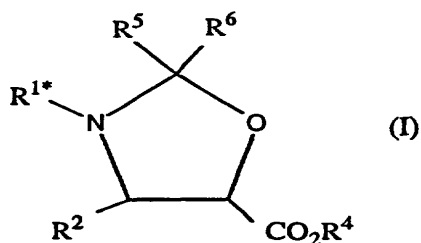
4. The method of claim 1, wherein said ring-opening agent is a Lewis acid.

20 5. The method of claim 4, wherein said Lewis acid is  $\text{Pd}(\text{CH}_3\text{CN})_2\text{Cl}_2$ .

6. The method of claim 1, wherein said compound of the formula VI is paclitaxel.  
 25

7. The method of claim 1, wherein  $R^1$  is the group  $R^{1*}$  in said compound of the formula III or salt thereof, and wherein said compound of the formula III or salt thereof is prepared by a method

comprising the step of contacting a compound of the following formula I or salt thereof:



5

where

R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined above;

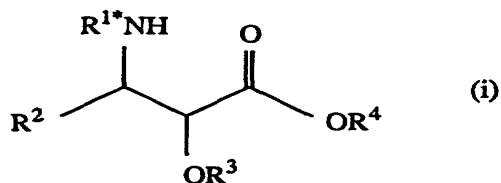
R<sup>4</sup> is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

- 10 R<sup>1\*</sup> is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that R<sup>1\*</sup> is not tert-butoxycarbonyl when R<sup>2</sup> is aryl; with a hydrolyzing agent.

15

8. The method of claim 7, wherein said compound of the formula I or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

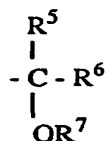
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where

R<sup>1\*</sup>, R<sup>2</sup> and R<sup>4</sup> are as defined above; and

R<sup>3</sup> is hydrogen or the group R<sup>3</sup>P, where R<sup>3</sup>P is the group:

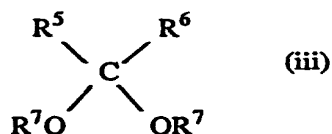
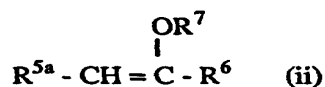


5

where R<sup>5</sup> and R<sup>6</sup> are as defined above, and R<sup>7</sup> is alkyl or aryl;

with an acid catalyst, and additionally, where R<sup>3</sup> is hydrogen, with a compound of the formula ii or iii:

10



15

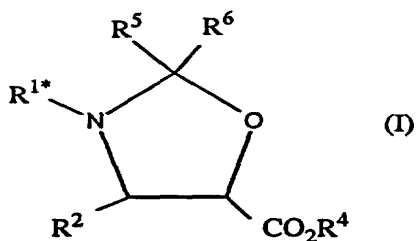
where R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> are as defined above, and where R<sup>5a</sup> (i) is a group such that R<sup>5a</sup>-CH<sub>2</sub>- is R<sup>5</sup> or (ii) forms, together with R<sup>6</sup> and the atoms to which R<sup>5a</sup> and R<sup>6</sup> are bonded, a cycloalkenyl or heterocyclo group containing at least one carbon to carbon double bond.

20

9. A compound of the following formula I or salt thereof:

25

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where

- 5  $R^{1*}$  is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that  $R^{1*}$  is not tert-butoxycarbonyl when  $R^2$  is aryl;  
 $R^2$  is aryl, heterocyclo or alkyl;  
 $R^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and  
 10  $R^5$  and  $R^6$  are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group.

- 15 10. A compound of claim 9 which is selected from the group consisting of:

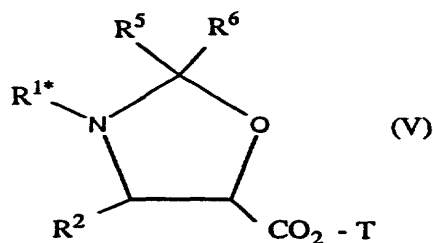
(4*S*-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester;

20

(4*S*-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, lithium salt; and

- 25 (4*S*-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid.

11. A compound of the following formula V or salt thereof:



where

R<sup>1\*</sup> is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl, with the proviso that R<sup>1\*</sup> is not tert-butoxycarbonyl when R<sup>2</sup> is aryl;

R<sup>2</sup> is aryl, heterocyclo or alkyl;

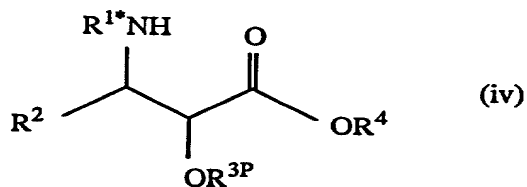
R<sup>5</sup> and R<sup>6</sup> are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and

T is a taxane moiety directly bonded at C-13 of said moiety.

12. A compound of claim 11 which is

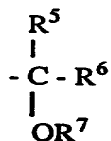
[2aR-(2a $\alpha$ , 4 $\beta$ , 4a $\beta$ , 6 $\beta$ , 9 $\alpha$ (4S\*, 5R\*), -11 $\alpha$ , 12 $\alpha$ , 12a $\alpha$ , 12b $\alpha$ )]-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid 6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[(triethylsilyl)oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.

13. A compound of the following formula iv or salt thereof:



where

- 5  $\text{R}^1$  is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that  $\text{R}^1$  is not tert-butoxycarbonyl when  $\text{R}^2$  is aryl;
- $\text{R}^2$  is aryl, heterocyclo or alkyl;
- $\text{R}^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and
- 10  $\text{R}^{3\text{P}}$  is the group:



where

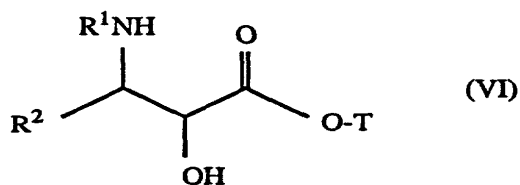
- 15  $\text{R}^5$  and  $\text{R}^6$  are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and
- $\text{R}^7$  is alkyl or aryl.

20

14. A method for the preparation of a compound of the following formula VI or a salt thereof:

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where

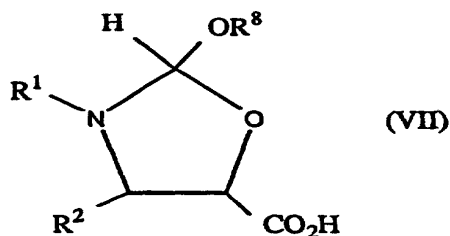
R<sup>1</sup> is hydrogen, arylcarbonyl, alkoxycarbonyl or  
 5        alkylcarbonyl;

R<sup>2</sup> is aryl, heterocyclo or alkyl; and

T is a taxane moiety directly bonded at C-13 of  
       said moiety;

comprising the steps of:

- 10        (a)        contacting a compound of the  
           following formula VII or salt thereof:



15        where

R<sup>1</sup> and R<sup>2</sup> are as defined above; and

R<sup>8</sup> is alkyl or aryl;

with a compound of the following formula IV or salt  
       thereof:

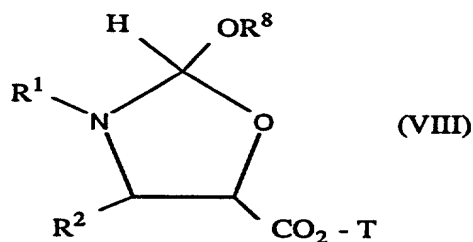
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where T is as defined above, in the presence of a  
       coupling agent, to form a compound of the following

25        formula VIII or salt thereof:

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where R¹, R², R⁸ and T are as defined above; and

- 5 (b) contacting said compound of the formula VIII or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

10

15. The method of claim 14, wherein

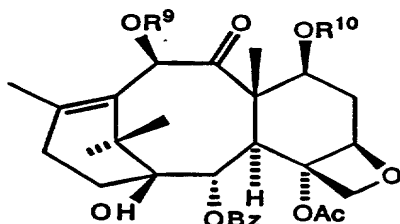
R¹ is arylcarbonyl or alkyloxycarbonyl;

R² is phenyl, thienyl or furyl;

15

R⁸ is alkyl or aryl; and

T is the moiety:



where

- 20 R⁹ is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and  
R¹⁰ is hydrogen or a hydroxyl protecting group.

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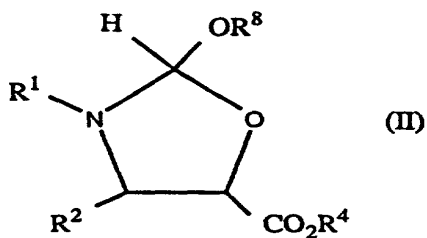
16. The method of claim 14, wherein said coupling agent comprises a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride), carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride; wherein the  
5 aforementioned compounds are employed together with 1-hydroxybenzotriazole, N-hydroxysuccinimide, or an amine.

10 17. The method of claim 14, wherein said ring-opening agent is a protic acid.

18. The method of claim 17, wherein said protic acid is an organic carboxylic acid and/or an  
15 aqueous mineral acid.

19. The method of claim 14, wherein said compound of the formula VI is paclitaxel or taxotere.

20 20. The method of claim 14, wherein said compound of the formula VII or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula II  
25 or salt thereof:

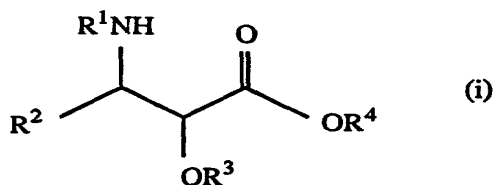


where R¹, R² and R⁸ are as defined above; and

R<sup>4</sup> is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; with a hydrolyzing agent.

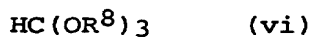
- 5                    21.        The method of claim 20, wherein said compound of the formula II or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

10



where R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are as defined above; and R<sup>3</sup> is hydrogen;

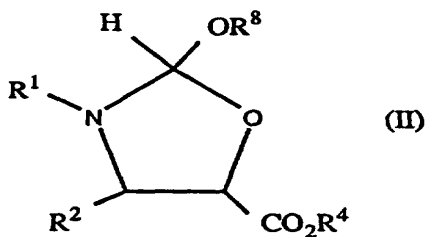
- 15        with an acid catalyst and a compound of the following formula vi:



- 20        where R<sup>8</sup> is as defined above.

22.        A compound of the following formula II or salt thereof:

25



where

R<sup>1</sup> is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;

5 R<sup>2</sup> is aryl, heterocyclo or alkyl;

R<sup>4</sup> is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo;

and

R<sup>8</sup> is alkyl or aryl.

10

23. A compound of claim 22 which is selected from the group consisting of:

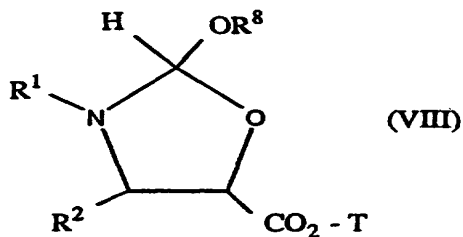
15 (4*S*,5*R*)-3-benzoyl-2-ethoxy-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester;

(4*S*,5*R*)-3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester; and

20 (4*S*,5*β*)-3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid.

24. A compound of the following formula VIII or salt thereof:

25



where

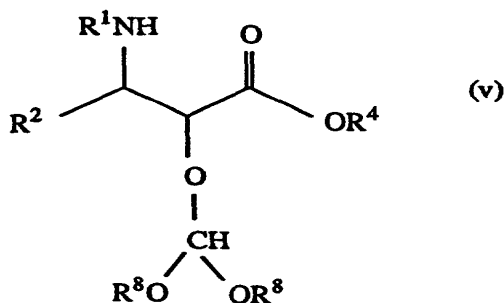
- $R^1$  is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;  
 $R^2$  is aryl, heterocyclo or alkyl;  
 $R^8$  is alkyl or aryl; and  
 5 T is a taxane moiety directly bonded at C-13 of said moiety.

25. A compound of claim 24 which is

- 10 [2aR-(2a $\alpha$ , 4 $\beta$ , 4a $\beta$ , 6 $\beta$ , 9 $\alpha$ (4S\*, 5R\*)), - 11 $\alpha$ , 12 $\alpha$ , 12a $\alpha$ , 12b $\alpha$ ] - 3-benzoyl-2-methoxy-4-phenyl- 5-oxazolidinecarboxylic acid 6,12b-bis(acetyloxy)- 12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b- dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-  
 15 oxo-4-[(triethylsilyl)oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.

26. A compound of the following formula v or salt thereof:

20



where

- $R^1$  is hydrogen, arylcarbonyl, alkoxycarbonyl or  
 25 alkylcarbonyl;  
 $R^2$  is aryl, heterocyclo or alkyl;

$R^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl,  
cycloalkyl, cycloalkenyl, or heterocyclo;  
and  
 $R^8$  is alkyl or aryl.

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